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LOGINID:ssspta1626qms

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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Welcome to STN International
NEWS
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
                 "Ask CAS" for self-help around the clock
NEWS
         SEP 09
                 CA/CAplus records now contain indexing from 1907 to the
                 present
NEWS
        DEC 08
                 INPADOC: Legal Status data reloaded
     5 SEP 29 DISSABS now available on STN
NEWS
NEWS 6 OCT 10 PCTFULL: Two new display fields added
NEWS 7 OCT 21
                 BIOSIS file reloaded and enhanced
NEWS 8 OCT 28
                 BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 9 NOV 24
                 MSDS-CCOHS file reloaded
NEWS 10 DEC 08
                 CABA reloaded with left truncation
NEWS 11
         DEC 08
                 IMS file names changed
NEWS 12
         DEC 09
                 Experimental property data collected by CAS now available
                 in REGISTRY
NEWS 13
         DEC 09
                 STN Entry Date available for display in REGISTRY and CA/CAplus
NEWS 14
         DEC 17
                 DGENE: Two new display fields added
NEWS 15
         DEC 18
                 BIOTECHNO no longer updated
NEWS 16
         DEC 19
                 CROPU no longer updated; subscriber discount no longer
                 available
NEWS 17
         DEC 22
                 Additional INPI reactions and pre-1907 documents added to CAS
                 databases
NEWS 18
         DEC 22
                 IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19
         DEC 22
                 ABI-INFORM now available on STN
              DECEMBER 28 CURRENT WINDOWS VERSION IS V7.00, CURRENT
NEWS EXPRESS
              MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
              AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
NEWS INTER
              General Internet Information
              Welcome Banner and News Items
NEWS LOGIN
              Direct Dial and Telecommunication Network Access to STN
NEWS PHONE
NEWS WWW
              CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

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 FILE 'HOME' ENTERED AT 10:53:40 ON 14 JAN 2004

=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE ENTRY

TOTAL SESSION

FULL ESTIMATED COST

0.21 0.21

FILE 'REGISTRY' ENTERED AT 10:53:52 ON 14 JAN 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 12 JAN 2004 HIGHEST RN 636984-67-3 DICTIONARY FILE UPDATES: 12 JAN 2004 HIGHEST RN 636984-67-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> Uploading 09899421.str

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 10:54:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13 TO ITERATE

100.0% PROCESSED

13 ITERATIONS

0 ANSWERS

Page 3 11:00 <golam shameem>

01/14/2004

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE **COMPLETE**

BATCH

COMPLETE

PROJECTED ITERATIONS:

44 TO 476

PROJECTED ANSWERS:

O TO 0

 L_2

0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 10:54:16 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -203 TO ITERATE

100.0% PROCESSED

203 ITERATIONS

SEARCH TIME: 00.00.01

26 ANSWERS

L3

26 SEA SSS FUL L1

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY 155.42

SESSION 155.63

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 10:54:20 ON 14 JAN 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 14 Jan 2004 VOL 140 ISS 3 FILE LAST UPDATED: 13 Jan 2004 (20040113/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4

16 L3

=> FIL REGISTRY COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

1:31

156.94

FILE 'REGISTRY' ENTERED AT 10:56:14 ON 14 JAN 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file

09899421

provided by InfoChem.

STRUCTURE FILE UPDATES: 12 JAN 2004 HIGHEST RN 636984-67-3 DICTIONARY FILE UPDATES: 12 JAN 2004 HIGHEST RN 636984-67-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

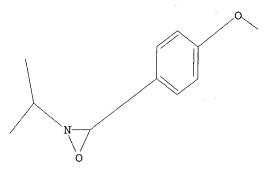
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> Uploading 09899421.str

L5STRUCTURE UPLOADED

=> d 15L5 HAS NO ANSWERS L5STR



Structure attributes must be viewed using STN Express query preparation.

SAMPLE SEARCH INITIATED 10:57:17 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -29 TO ITERATE

100.0% PROCESSED 29 ITERATIONS SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE** 257 TO 903

PROJECTED ITERATIONS: PROJECTED ANSWERS: 0 TO

L6 0 SEA SSS SAM L5

=> s 15 sss full FULL SEARCH INITIATED 10:57:28 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 458 TO ITERATE 100.0% PROCESSED 458 ITERATIONS

SEARCH TIME: 00.00.01

L7 40 SEA SSS FUL L5

=> FIL CAPLUS
COST IN U.S. DOLLARS

FULL ESTIMATED COST

40 ANSWERS

SINCE FILE TOTAL ENTRY SESSION

155.84 312.78

FILE 'CAPLUS' ENTERED AT 10:57:32 ON 14 JAN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 14 Jan 2004 VOL 140 ISS 3 FILE LAST UPDATED: 13 Jan 2004 (20040113/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 17 L8 32 L7

(FILE 'HOME' ENTERED AT 10:53:40 ON 14 JAN 2004)

FILE 'REGISTRY' ENTERED AT 10:53:52 ON 14 JAN 2004

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 26 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:54:20 ON 14 JAN 2004

L4 16 S L3

FILE 'REGISTRY' ENTERED AT 10:56:14 ON 14 JAN 2004

STRUCTURE UPLOADED

L6 0 S L5

L7 40 S L5 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:57:32 ON 14 JAN 2004

L8 32 S L7

=> s 14 and p/dt

4256179 P/DT 4 L4 AND P/DT

09899421

L5

L9

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Page 6 11:00 < golam shameem>
=> s 18 and p/dt
       4256179 P/DT
             🖊 L8 AND P
L10
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01/14/2004

ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

abs hitstr tot

ACCESSION NUMBER:

2002:51445 CAPLUS

DOCUMENT NUMBER:

=> d 19 ibib

136:102374

TITLE:

Method for the preparation of 2-alkyl-3-

aryloxaziridines and 2-alkyl-3-heteroaryloxaziridines

by oxidation of aldimines with peracids in the

INVENTOR(S):

presence of a base Klausener Alexander; Langer, Reinhard; Ratsch, Stephan; Dockner, Michael

Bayer Aktiengesellschaft, Germany

PCT Int. Appl., 22 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

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PATENT NO.
                                                KIND
                                                            DATE
                                                                                              APPLICATION NO.
                                                                                                                                  DATE
                  2002004432 A1 20020117 WO 2001-EP7213 20010625

W: AE, AG, AL, AM, AA, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
           WO 2002004432
           DE 10033079
                                                                                            DE 2000-10033079 20000707
                                                 Α1
                                                         20020117
           EP 1301494
                                                  A1
                                                             20030416
                                                                                             EP 2001-960375 20010625
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           US 2002111339
                                                            20020815
                                              A1
                                                                                              US 2001-899421
PRIORITY APPLN. INFO.:
                                                                                        DE 2000-10033079 A 20000707
                                                                                        WO 2001-EP7213 W
                                                                                                                                   20010625
OTHER SOURCE(S):
                                                    CASREACT 136:102374; MARPAT 136:102374
GT
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$$\begin{array}{c|c}
 & & R^1 \\
 & & \\
 & & C \\
 & & R^2 \\
 & & R^3 \\
\end{array}$$

Oxaziridines [I; X = (substituted) C6-12 aryl, heteroaryl; R1-R3 = H, AB (substituted) (branched) C1-20 alkyl, C3-8 cycloalkyl, C2-10 alkenyl, C6-12 aryl] were prepd. by oxidn. of the corresponding aldimine XCH:NCR1R2R3 (X and R1-R3 as above) with an arom. peracid or a salt thereof in the presence of a water-sol. base or solvent at 30.degree.. Thus, 2-propyl-4-nitrobenzaldimine in MeOH was treated dropwise with 17 wt. % Na2CO3 at 18-22.degree., followed by addn. of 20 wt. % magnesium monoperoxyphthalic acid hexahydrate and stirring for 5 h at 22-25.degree., to give 98% 2-propyl-3-(4-nitrophenyl)oxaziridine. The disclosed method is economical, safe to operate, and can be carried out on an industrial scale.

IT 389105-18-4P

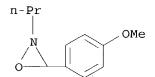
> RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(method for prepn. of 2-alkyl-3-aryloxaziridines and

2-alkyl-3-heteroaryloxaziridines by oxidn. of aldimines with peracids in presence of base)

RN389105-18-4 CAPLUS

Oxaziridine, 3-(4-methoxyphenyl)-2-propyl- (9CI) (CA INDEX NAME) CN



REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

T.9 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2000:547474 CAPLUS

DOCUMENT NUMBER:

133:150580

TITLE:

Preparation of hydroxamic and carboxylic acid

derivatives as antiinflammatory agents

INVENTOR(S):

Montana, John Gary; Baxter, Andrew Douglas; Owen,

David Alan

PATENT ASSIGNEE(S):

Darwin Discovery Limited, UK

SOURCE:

U.S., 10 pp.

DOCUMENT TYPE:

CODEN: USXXAM Patent

LANGUAGE:

GI

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE -----US 6100266 20000808 US 1999-239603 19990129 PRIORITY APPLN. INFO.: US 1999-239603 19990129 OTHER SOURCE(S): MARPAT 133:150580

The title compds. BX(CH2)m(CR1R2)nWCOY [I; m = 0-2; n = 1-2, provided that when m = 0, then n = 2; X = S(0)0-2; Y = H; W = NOR8; R1 = H, alkyl, alkenyl, etc.; R2 = H, alkyl, provided that (CR1R2)n is not (CH2)n; CR1R2 = (un)substituted cycloalkyl, heterocycloalkyl; B = alkylaryl, alkyl, cycloalkyl, etc.; R8 = H, alkyl], useful for the treatment of cancer, inflammation, and other conditions assocd. with matrix metalloproteinases or that are mediated by TNF.alpha. or enzymes involved in the shedding of L-selectin, CD23, the TNF receptors, IL-1 receptors, or IL-6 receptors (no data), were prepd. E.g., a multi-step synthesis of (1S)-II was given. Compds. I are effective in treating inflammation at 0.01-50 mg/kg/day.

IT 234782-52-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of hydroxamic and carboxylic acid derivs. as antiinflammatory agents)

RN 234782-52-6 CAPLUS

CN Piperazine, 1-(4-chlorophenyl)-4-[[(2S)-2-[3-(4-methoxyphenyl)-2-oxaziridinyl]-3-methylbutyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1999:495271 CAPLUS

DOCUMENT NUMBER:

131:129578

TITLE:

Preparation of hydroxamic and carboxylic acid

derivatives as inhibitors of matrix metalloproteinase

and/or TNF.alpha.-mediated diseases

INVENTOR(S):

Montana, John Gary; Baxter, Andrew Douglas; Owen,

David Alan

PATENT ASSIGNEE(S):

Darwin Discovery Limited, UK

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO. DATE

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WO 9938843
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                                                        WO 1999-GB313 19990129
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W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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      ZA 9900731
                              Α
                                     20000131
                                                        ZA 1999-731
                                                                               19990129
      EP 1051395
                              A1
                                     20001115
                                                        EP 1999-902703
                                                                               19990129
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                 IE, SI, LT, LV, FI, RO
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      NO 2000003868
                              Α
                                     20000728
                                                        NO 2000-3868
                                                                               20000728
PRIORITY APPLN. INFO.:
                                                     GB 1998-2073
                                                                          A 19980130
                                                     GB 1998-19574
                                                                          Α
                                                                               19980908
                                                    WO 1999-GB313
                                                                          W 19990129
OTHER SOURCE(S):
                                MARPAT 131:129578
      The title compds. BX(CH2)m(CR1R2)nWCOY [m = 0-2; n = 1, 2; X = S(0)0-2; Y
      = H, OH, NHOH; W = CO, CHOH, NOR8; R1 = H, alkyl, aryl, etc.; R2 = H,
      alkyl; CR1R2 = cycloalkyl, heterocycloalkyl; B = alkylaryl, cycloalkyl,
      cycloalkenyl, etc.], inhibitors of matrix metalloproteinase and/or
      TNF.alpha.-mediated diseases (no data), were prepd. E.g.,
      3-(4-methoxybenzenesulfonylmethyl)-2-oxo-6-phenylhexanoic acid was prepd.
IT
      234782-52-6P
      RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
       (Reactant or reagent)
           (prepn. of hydroxamic and carboxylic acid derivs. as inhibitors of
          matrix metalloproteinase and/or TNF.alpha.-mediated diseases)
      234782-52-6 CAPLUS
RN
      Piperazine, 1-(4-chlorophenyl)-4-[[(2S)-2-[3-(4-methoxyphenyl)-2-
CN
      oxaziridinyl]-3-methylbutyl]sulfonyl]- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1990:99248 CAPLUS

DOCUMENT NUMBER:

112:99248

TITLE:

Preparation of N-hydroxy-.alpha.-amino acids and

amides as antibiotics and antitumor agents

INVENTOR(S): Kamphuis, Johan; Boesten, Wilhelmus Hubertus Joseph

PATENT ASSIGNEE(S): SOURCE:

Stamicarbon B. V., Neth. Eur. Pat. Appl., 7 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	FENT NO.	KIND	DATE	A	PPLICATION NO.	DATE
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EP	330247	A1	19890830	E	P 1989-200193	19890131
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NL	8800260	A	19890901	N	L 1988-260	19880204
AT	91280	E	19930715	A	T 1989-200193	19890131
JP	02001446	A2	19900105	J	P 1989-24080	19890203
US	5072041	A	19911210	U	S 1989-429976	19891101
(us	5101036	A	19920331	U	S 1990-586398	19900920
PRIORITY	APPLN. INFO.	. :		NL 1	988-260	19880204
				EP 1	989-200193	19890131
				US 1	989-305903	19890203

OTHER SOURCE(S): MARPAT 112:99248

The title compds., potentially useful as antibiotics and antitumor agents, are prepd. by condensation of .alpha.-amino acid derivs. with arom. aldehydes, oxidn. of the resulting Schiff base, and hydrolysis, optionally followed by further derivatization. D-Valinamide was condensed with p-MeC6H4CHO to give the corresponding Schiff base, which was oxidized with m-ClC6H4C(0)OOH followed by hydrolysis in the presence of HONH2 to give N.alpha.-hydroxy-D-valinamide.

IT 125482-43-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrolysis of, in prepn. of antibiotics and antitumor agents)

RN125482-43-1 CAPLUS

CN2-Oxaziridineacetamide, 3-(4-methoxyphenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

=> d l10 ibib abs hitstr tot

L10 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:446017 CAPLUS

DOCUMENT NUMBER:

137:20151

TITLE:

Procedure for the production of nitrogen-substituted hydroxylamines and their carboxylic acid salts by the acid hydrolysis of aryl or heteroaryloxaziridines

INVENTOR(S):

Dockner, Michael; Eymann, Wolfgang; Koenig,

Bernd-Michael; Holzem, Helmut

PATENT ASSIGNEE(S): SOURCE:

Bayer A.-G., Germany Ger. Offen., 6 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

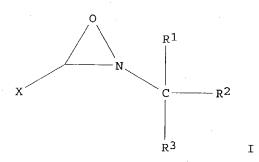
German

FAMILY ACC. NUM. COUNT:

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	WO	2002																	
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			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR	•		,	,	,	,	
/	US_	2002	0824	53	A.	1	2002	0627	•	Ú	3 200	01-13	3203		2001:	1207			
	US	6559	340	>	B	2	2003	0506								,			
PRIOR									I	DE 20	000-1	1006	1623	Δ .	2000	1211			
															2001				
OTHER	SC	URCE	(S):	•		CAS	REAC	г 13′	7:201	151;	MARI	PAT 1	137:2	2015	1	1120		•	

GΙ



AΒ Nitrogen-substituted hydroxylamines R1(R2)(R3)CHNOH [R1-R3 = H, (un)branched alkyl, (un)branched alkenyl, cycloalkyl, aryl] or their carboxylic acid salts [e.g., N-(tert-butyl)hydroxylammonium acetate] N-are prepd. in high and selectivity from nitrogen-substituted aryl- or heteroaryloxaziridines (I; X = aryl, heteroaryl; e.g., 2-tert-butyl-3-phenyloxaziridine) by acid hydrolysis using .gtoreq.2 equiv. of acid (e.g., 50% sulfuric acid) in a water-miscible solvent (e.g., methanol) followed by neutralization (e.g., aq. NaOH) and optional salification (e.g., aq. AcOH).

IT 389105-17-3

> RL: RCT (Reactant); RACT (Reactant or reagent) (procedure for the prodn. of nitrogen-substituted hydroxylamines and their carboxylic acid salts by the acid hydrolysis of aryl or heteroaryloxaziridines)

RN

389105-17-3 CAPLUS
Oxaziridine, 3-(4-methoxyphenyl)-2-(1-methylethyl)- (9CI) (CA INDEX NAME) CN

i-Pr OMe

L10 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

2002:51445 136:102374

TITLE:

Method for the preparation of 2-alkyl-3-

aryloxaziridines and 2-alkyl-3-heteroaryloxaziridines

by oxidation of aldimines with peracids in the

presence of a base

CODEN: PIXXD2

INVENTOR(S):

Mausener, Alexander; Langer, Reinhard; Ratsch,

Stephan; Dockner, Michael

CAPLUS

Bayer Aktiengesellschaft, Germany PATENT ASSIGNEE(S):

₽ĈT Int. Appl., 22 pp. SOURCE:

DOCUMENT TYPE:

Patent German

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO. DATE
WO 2002004432	A1 20020117	WO 2001-EP7213 20010625
W: AE, AG,	AL, AM, AT, AU,	AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU,	CZ, DE, DK, DM,	DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID,	IL, IN, IS, JP,	KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV,	MA, MD, MG, MK,	MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE,	SG, SI, SK, SL,	TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA,	ZW, AM, AZ, BY,	KG, KZ, MD, RU, TJ, TM
RW: GH, GM,	KE, LS, MW, MZ,	SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK,	ES, FI, FR, GB,	GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF,	CG, CI, CM, GA,	GN, GW, ML, MR, NE, SN, TD, TG
DE 10033079	A1 20020117	DE 2000-10033079 20000707
EP 1301494	A1 20030416	EP 2001-960375 20010625

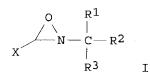
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2002111339 A1 . 20020815 US 2001-899421 PRIORITY APPLN. INFO.: DE 2000-10033079 A 20000707

WO 2001-EP7213 20010625

OTHER SOURCE(S):

CASREACT 136:102374; MARPAT 136:102374



Oxaziridines [I; X = (substituted) C6-12 aryl, heteroaryl; R1-R3 = H, AB (substituted) (branched) C1-20 alkyl, C3-8 cycloalkyl, C2-10 alkenyl, C6-12 aryl] were prepd. by oxidn. of the corresponding aldimine XCH:NCR1R2R3 (X and R1-R3 as above) with an arom. peracid or a salt thereof in the presence of a water-sol. base or solvent at 30.degree.. Thus, 2-propyl-4-nitrobenzaldimine in MeOH was treated dropwise with 17 wt. % Na2CO3 at 18-22.degree., followed by addn. of 20 wt. % magnesium monoperoxyphthalic acid hexahydrate and stirring for 5 h at 22-25.degree., to give 98% 2-propyl-3-(4-nitrophenyl)oxaziridine. The disclosed method is economical, safe to operate, and can be carried out on an industrial scale.

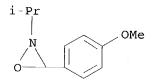
TT389105-17-3P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

(method for prepn. of 2-alkyl-3-aryloxaziridines and 2-alkyl-3-heteroaryloxaziridines by oxidn. of aldimines with peracids in presence of base)

RN389105-17-3 CAPLUS

CN Oxaziridine, 3-(4-methoxyphenyl)-2-(1-methylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:868446 CAPLUS

DOCUMENT NUMBER:

136:5973

TITLE:

Preparation of bicyclyl- or

heterobicyclylmethanesulfonylamino-substituted N-hydroxyformamides useful in the treatment and prophylaxis of conditions mediated by s-CD23 Best, Desmond John; Bruton, Gordon; Orlek, Barry

INVENTOR (S):

Sidney; Rana, Kishore; Walker, Graham

PATENT ASSIGNEE(S):

SmithKline Beecham P.L.C., UK

SOURCE:

PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ----------WO 2001090100 **A**1 20011129 WO 2001-EP5798 20010521 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 1289980 A1 EP 2001-945174 20010521 20030312 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR BR 2001011074 BR 2001-11074 Α 20030624 20010521 NO 2002005549 Α 20030124 NO 2002-5549 20021119 PRIORITY APPLN. INFO.: GB 2000-12809 A 20000525 GB 2001-4970 Α 20010228 WO 2001-EP5798 W 20010521

OTHER SOURCE(S):

MARPAT 136:5973

AB R1CH2SO2CH2CHRN(OH)CHO [R = hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl; R1 = bicyclyl, heterobicyclyl], useful in the treatment and prophylaxis of conditions mediated by s-CD23, were prepd. E.g., 4-acetamidoacetophenone and copper bromide were heated to reflux in Et acetate 2.5h to give (S)-N-[1-(4-acetamidophenyl)-2-(benzo[b]thiophen-5-yl-methanesulfonyl)ethyl]-N-hydroxyformamide. The last was converted to (S)-N-[1-(4-acetamidophenyl)-2-(benzo[b]thiophen-5-ylmethanesulfonyl)ethyl]-N-hydroxyformamide. The compds. prepd. and tested showed IC50 values of .ltoreq. 1.mu.M.

IT 376388-14-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of bicyclyl- or heterobicyclylmethanesulfonylamino-substituted N-hydroxyformamides useful in the treatment and prophylaxis of conditions mediated by s-CD23)

RN 376388-14-6 CAPLUS

CN Oxaziridine, 2-[(1S)-2-[(benzo[b]thien-5-ylmethyl)sulfonyl]-1-methylethyl]-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

5

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

01/14/2004

L10 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:747767 CAPLUS

DOCUMENT NUMBER: 135:303886

TITLE: Process for the preparation of substituted formamides

(and intermediates) for use as matrix

metalloproteinase inhibitors

INVENTOR(S): Bailey, Anne E.; Hill, David R.; Hsiao, Chi-nung;

Kurukulasuriya, Ravi; Wittenberger, Steve; Mcdermott,

Todd; Mclaughlin, Maureen

PATENT ASSIGNEE(S): SOURCE:

Abbott Laboratories, USA PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				
WO 2001074792	A 2	20011011	WO 2001-US10276	20010330
WO 2001074792	A3	20020207		

W: CA, JP, MX

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE, TR

PRIORITY APPLN. INFO.: US 2000-539950 A 20000331

OTHER SOURCE(S):

CASREACT 135:303886; MARPAT 135:303886

GΙ

AB A process is claimed for synthesis of intermediates (e.g. I, II) leading to formamides (e.g. III) [Ar = 4-(4-CF30-C6H4)-C6H4]. The process is

illustrated by the multi-kilogram synthesis of enantiomers of III from L-serine Me ester. L-serine Me ester is converted to (4S)-2-0xo-1,3oxazolidine-4-carboxylic acid Me ester (DCM, triphosgene, Et3N) and the resulting product reduced to I (EtOH, NaBH4, H3PO4, room temp. 18 h) in 89% yield (2 steps). I was converted to a the sulfonate deriv. (Py, TsCl, room temp. 16 h), treated with 4-bromophenol (CH3CN, K2CO3, 70.degree.C, 23 h) and the adduct coupled to 4-(trifluoromethoxy)phenylboronic acid (H2O, K3PO4, Pd(dppf)Cl2, 60.degree.C, 1 h) to give the corresponding biphenyl deriv. in 78% yield for the 2 steps. The biphenyl intermediate was opened to the amino alc. (H2O, KOH, 80.degree.C, 7 h), condensed with p-anisaldehyde (PhMe/Heptane, 80.degree.C, 2h), reacted with 5,5-dimethylhydantoin (THF, PPh3, DIAD) followed by reaction with m-CPBA (THF, 0.degree.C, 30 min.) to give intermediate oxaziridine II. II was converted to the hydroxylamine (NH2OH, room temp., isolated as the p-toluenesulfonate salt) and then converted to formamide (S)-III by treatment with trifluoroethylformate (10 equiv., reflux, 4 h). An alternative synthesis was provided for the penultimate intermediate. current process results in enantiopure intermediates with less racemization than prior art methods. Compds. of the invention are inhibitors of matrix metalloproteinase.

IT 365572-66-3P 365572-71-0P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; process for the prepn. of substituted formamides (and intermediates) for use as matrix metalloproteinase inhibitors)

RN 365572-66-3 CAPLUS

CN

2,4-Imidazolidinedione, 3-[(2S)-2-[3-(4-methoxyphenyl)-2-oxaziridinyl]-3-[[4'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]oxy]propyl]-5,5-dimethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 365572-71-0 CAPLUS

CN 2,4-Imidazolidinedione, 3-[(2S)-3-(4-bromophenoxy)-2-[3-(4-methoxyphenyl)-2-oxaziridinyl]propyl]-5,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:547474 CAPLUS

DOCUMENT NUMBER: 133:150580

TITLE: Preparation of hydroxamic and carboxylic acid

derivatives as antiinflammatory agents

INVENTOR(S): Montana, John Gary; Baxter, Andrew Douglas; Owen,

David Alan

PATENT ASSIGNEE(S): Darwin Discovery Limited, UK

SOURCE: U.S., 10 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE		APPLICATION NO.	DATE
US 6100266 PRIORITY APPLN. OTHER SOURCE(S):	2111 0	20000808 ARPAT 133:1	US	US 1999-239603 1999-239603	19990129 19990129

The title compds. BX(CH2)m(CR1R2)nWCOY [I; m = 0-2; n = 1-2, provided that when m = 0, then n = 2; X = S(0)0-2; Y = H; W = NOR8; R1 = H, alkyl, alkenyl, etc.; R2 = H, alkyl, provided that (CR1R2)n is not (CH2)n; CR1R2 = (un)substituted cycloalkyl, heterocycloalkyl; B = alkylaryl, alkyl, cycloalkyl, etc.; R8 = H, alkyl], useful for the treatment of cancer, inflammation, and other conditions assocd. with matrix metalloproteinases or that are mediated by TNF.alpha. or enzymes involved in the shedding of L-selectin, CD23, the TNF receptors, IL-1 receptors, or IL-6 receptors (no

data), were prepd. E.g., a multi-step synthesis of (1S)-II was given. Compds. I are effective in treating inflammation at 0.01-50 mg/kg/day.

IT 234782-52-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of hydroxamic and carboxylic acid derivs. as antiinflammatory agents)

234782-52-6 CAPLUS RN

Piperazine, 1-(4-chlorophenyl)-4-[[(2S)-2-[3-(4-methoxyphenyl)-2-CNoxaziridinyl]-3-methylbutyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1999:495271 CAPLUS

DOCUMENT NUMBER:

131:129578

TITLE:

Preparation of hydroxamic and carboxylic acid

derivatives as inhibitors of matrix metalloproteinase

and/or TNF.alpha.-mediated diseases

INVENTOR(S):

Montana, John Gary; Baxter, Andrew Douglas; Owen,

David Alan

PATENT ASSIGNEE(S):

Darwin Discovery Limited, UK

SOURCE:

PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO. DATE	
WO 9938843	7\1	19990905	WO 1999-GB313 19990129	
· -				
W: AL, AM	, AT, AU	J, AZ, BA,	BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,	
DK, EI	, ES, F	(, GB, GD,	GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,	
KE, KO	, KP, KF	R, KZ, LC,	LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,	
MW, MX	, NO, N2	Z, PL, PT,	RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,	
TR, T	, UA, UC	, UZ, VN,	YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,	TM
RW: GH, GN	, KE, LS	S, MW, SD,	SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,	_,,
FI, F	, GB, GF	R, IE, IT,	LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,	

CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AΑ 19990805 CA 1999-2317455 19990129 AU 9922914 19990816 A1 AU 1999-22914 19990129 AU 735929 B2 20010719 ZA 9900731 Α 20000131 ZA 1999-731 19990129 EP 1051395 A1 20001115 EP 1999-902703 19990129 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO BR 9908215 Α 20001128 BR 1999-8215 19990129 JP 2002501943 T2 20020122 JP 2000-530080 19990129 NO 2000003868 Α 20000728 NO 2000-3868 20000728 PRIORITY APPLN. INFO.: GB 1998-2073 19980130 Α GB 1998-19574 A 19980908 WO 1999-GB313 W 19990129 OTHER SOURCE(S): MARPAT 131:129578

The title compds. BX(CH2)m(CR1R2)nWCOY [m = 0-2; n = 1, 2; X = S(0)0-2; Y = H, OH, NHOH; W = CO, CHOH, NOR8; R1 = H, alkyl, aryl, etc.; R2 = H, alkyl; CR1R2 = cycloalkyl, heterocycloalkyl; B = alkylaryl, cycloalkyl, cycloalkenyl, etc.], inhibitors of matrix metalloproteinase and/or TNF.alpha.-mediated diseases (no data), were prepd. E.g., 3-(4-methoxybenzenesulfonylmethyl)-2-oxo-6-phenylhexanoic acid was prepd. IT234782-52-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of hydroxamic and carboxylic acid derivs. as inhibitors of matrix metalloproteinase and/or TNF.alpha.-mediated diseases)

RN234782-52-6 CAPLUS

CNPiperazine, 1-(4-chlorophenyl)-4-[[(2S)-2-[3-(4-methoxyphenyl)-2oxaziridinyl]-3-methylbutyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1990:99248 CAPLUS

DOCUMENT NUMBER:

112:99248

TITLE:

Preparation of N-hydroxy-.alpha.-amino acids and

amides as antibiotics and antitumor agents

INVENTOR(S): Kamphuis, Johan; Boesten, Wilhelmus Hubertus Joseph

PATENT ASSIGNEE(S): Stamicarbon B. V., Neth. 01/14/2004

SOURCE:

Eur. Pat. Appl., 7 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				-
EP 330247	A1	19890830	EP 1989-200193	19890131
EP 330247	B1	19930707		
R: AT, BE,	CH, DE	, ES, FR,	GB, GR, IT, LI, NL, SE	
NL 8800260	A	19890901	NL 1988-260	19880204
AT 91280	\mathbf{E}	19930715	AT 1989-200193	19890131
JP_0200#446	A2	19900105	JP 1989-24080	19890203
US 5072041	A	19911210	US 1989-429976	19891101
US 5101036	Α	19920331	US 1990-586398	19900920
PRIORITY APPLINION	. :		NL 1988-260	19880204
			EP 1989-200193	19890131
			US 1989-305903	19890203

OTHER SOURCE(S): MARPAT 112:99248

AB The title compds., potentially useful as antibiotics and antitumor agents, are prepd. by condensation of .alpha.-amino acid derivs. with arom. aldehydes, oxidn. of the resulting Schiff base, and hydrolysis, optionally followed by further derivatization. D-Valinamide was condensed with p-MeC6H4CHO to give the corresponding Schiff base, which was oxidized with m-ClC6H4C(O)OOH followed by hydrolysis in the presence of HONH2 to give N.alpha.-hydroxy-D-valinamide.

IT 125482-43-1P 125482-45-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrolysis of, in prepn. of antibiotics and antitumor agents)

RN 125482-43-1 CAPLUS

CN 2-Oxaziridineacetamide, 3-(4-methoxyphenyl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 125482-45-3 CAPLUS

CN 2-Oxaziridineacetamide, 3-(4-methoxyphenyl)-.alpha.-(phenylmethyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:477305 CAPLUS

DOCUMENT NUMBER: 107:77305

TITLE: Preparation of novel N-alkylhydroxylamine

hydrochlorides

INVENTOR(S): Schalenbach, Rolf; Waldmann, Helmut; Ingendoh, Axel

PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 8 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3535451	A1	19870409	DE 1985-3535451	19851004
/ EP 217269)	A2	19870408	EP 1986-113069	19860923
EP 217269	A3	19871216		
EP 217269	B1	19900801		
AT, BE,	CH, DE	, FR, GB,	IT, LI	
AT 55110	E	19900815	AT 1986-113069	19860923
JP 62081357	A2	19870414	JP 1986-228504	19860929
PRIORITY APPLN. INFO.	:		DE 1985-3535451	19851004
			EP 1986-113069	19860923

OTHER SOURCE(S): CASREACT 107:77305

AB R1R2R3CNHOH.HCl (R1-R3 = H, alkyl, cycloalkyl, alkynyl; R1R2C = cycloalkyl) were prepd. Thus, Me3CN:CHC6H4OMe-p was stirred with EtC(0)00H in C6H6 to give 96.4% of an 81:19 mixt. of the corresponding oxaziridine and nitrone which give 91% Me3CNHOH.HCl when treated with aq. HCl.

IT 43052-01-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and acid hydrolysis of)

RN 43052-01-3 CAPLUS

CN Oxaziridine, 2-(1,1-dimethylethyl)-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

=> log y COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY 62.03	SESSION 374.81
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-8.32	-8.32

STN INTERNATIONAL LOGOFF AT 10:59:26 ON 14 JAN 2004